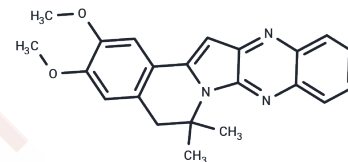


YM-90709

Chemical Properties

CAS No. : 163769-88-8
 Formula: C₂₂H₂₁N₃O₂
 Molecular Weight: 359.42
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	YM-90709 is a new-type antagonist inhibiting the binding of interleukin-5 to the interleukin-5 receptor.
Targets(IC50)	IL Receptor, Interleukin
In vitro	YM-90709 potently inhibits the binding of 100 pM [125I]-IL-5 to IL-5R on human peripheral eosinophils and eosinophilic HL-60 clone 15 cells with IC ₅₀ values of 1.0±0.40 and 0.57±0.21 μM, respectively. YM-90709 inhibits the 4 pM IL-5-induced effect in a concentration-dependent manner with an IC ₅₀ value of 0.45±0.024 μM. YM-90709 also inhibits the higher concentrations (12 and 40 pM) of IL-5-induced effects with IC ₅₀ values of 0.89±0.29 and 1.0±0.22 μM, respectively. [1] YM-90709 is a novel interleukin-5 receptor antagonist, YM-90709 inhibits antigen-induced eosinophil recruitment into the airway, the same as anti-IL-5 mAb does. YM-90709 inhibits the binding of IL-5 to IL-5R on human eosinophils, but did not inhibit the binding of GM-CSF to GM-CSFR. In addition, YM-90709 inhibits IL-5-induced, but not GM-CSF-induced, eosinophil survival as well as the tyrosine phosphorylation of Janus kinase 2. [2]
In vivo	YM-90709 suppresses antigen-induced airway inflammation in Brown Norway rats. YM-90709 is a novel IL-5R antagonist with those of anti-IL-5 mAb on the antigen-induced infiltration of eosinophils into the airways of BDF1 mice, a strain that is commonly used in the antibody estimation. [2] This is the first report on the examination of the effects of YM-90709 in vivo, as a novel IL-5R antagonist on the antigen-induced infiltration of eosinophils and other leukocytes into the BALF of Brown-Norway (BN) rats. [3]
Kinase Assay	Nuclease assay: Reactions with oligonucleotide nonhairpin substrates contains 25 mM MOPS (pH 7.0), 60 mM KCl, 0.2% Tween 20, 2 mM DTT, 1 mM or 5 mM MnCl ₂ (or 5 mM MgCl ₂ , or 5 mM CaCl ₂), 0.1 pmol of DNA substrate, and 0.3 pmol of Mre11 (or an equivalent amount of Mre11 complexed with Rad50) in a volume of 10 μl, and are incubated at 37°C for 30 min. SDS, EDTA, and proteinase K are then added to final concentrations of 0.2%, 5 mM, and 0.1 mg/ml, respectively, and incubated for another 15 min. 4 μl of each reaction is mixed with 4 μl of formamide loading buffer, and then loaded onto a sequencing gel containing 10% acrylamide and 7 M urea. After the run, each gel is analyzed using a phosphorimaging system. Reactions containing hairpin substrates are identical to those with nonhairpin substrates except that 3 pmol of Mre11 is added to reactions as indicated, and the reactions are incubated at room temperature overnight. Nonhomologous end-joining reactions contains 25 mM MOPS (pH 7.0), 60 mM KCl, 0.2%

A DRUG SCREENING EXPERT

Kinase Assay	Tween 20, 2 mM DTT, 4 mM MgCl ₂ , 2 mM MnCl ₂ , 0.5 mM ATP, 4 ng of plasmid DNA, 10% polyethylene glycol, 0.01 pmol of human DNA ligase I, and 0.06 pmol of Mre11 or 0.1 units of E. coli exonuclease III (GIBCO-BRL), in a volume of 10 µl. After incubation at 37°C for 25 min, Tween 20 is added to a final concentration of 0.5%, and a 2.5 µl aliquot is amplified by PCR using primers DAR5 and DAR147. PCR products are cloned using the TA cloning kit and sequenced using an automated ABI Capillary Genetic Analyzer.
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Solubility Information

Solubility	DMSO: 18 mg/mL (50.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.56 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7823 mL	13.9113 mL	27.8226 mL
5 mM	0.5565 mL	2.7823 mL	5.5645 mL
10 mM	0.2782 mL	1.3911 mL	2.7823 mL
50 mM	0.0556 mL	0.2782 mL	0.5565 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Morokata T, et al. Int Immunopharmacol. 2004 Jul;4(7):873-83.
Immunol Lett. 2005 Apr 15;98(1):161-5. Epub 2004 Nov 28.
Morokata T, et al. Int Immunopharmacol. 2002 Nov;2(12):1693-702.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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